



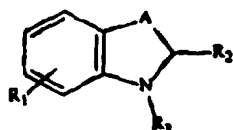
## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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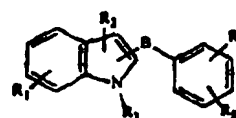
(21) International Application Number: **PCT/US97/14943**(22) International Filing Date: **26 August 1997 (26.08.97)**(30) Priority Data:  
**08/703,115** **26 August 1996 (26.08.96)** **US**(71) Applicant: **GENETICS INSTITUTE, INC. [US/US]; 87 CambridgePark Drive, Cambridge, MA 02140 (US).**(72) Inventors: **XIANG, YiBin; 821 Main Street, Acton, MA 01720 (US). BEMIS, Jean; 256 Appleton Street, Arlington, MA 02174 (US). MCKEW, John; 58 Varnum Street, Arlington, MA 02174 (US). KAILA, Neelu; 2 Course Brook Lane, Natick, MA 01760 (US).**(74) Agent: **BROWN, Scott, A.; Genetics Institute, Inc., 87 CambridgePark Drive, Cambridge, MA 02140 (US).**(81) Designated States: **AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, ARIPO patent (GH, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).****Published**  
*With international search report.*(54) Title: **INHIBITORS OF PHOSPHOLIPASE ENZYMES**

## (57) Abstract

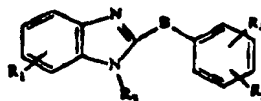
Compounds having a chemical formula selected from the group consisting of formulae (I), (II) and (III) or a pharmaceutically acceptable salt thereof, wherein: A is independent of any other group and is selected from the group consisting of -CH<sub>2</sub>- and -CH<sub>2</sub>-CH<sub>2</sub>-; B is independent of any other group and is selected from the group consisting of -(CH<sub>2</sub>)<sub>n</sub>,



(I)



(II)



(III)

-(CH<sub>2</sub>O)<sub>n</sub>, -(CH<sub>2</sub>S)<sub>n</sub>, -(OCH<sub>2</sub>)<sub>n</sub>, -(SCH<sub>2</sub>)<sub>n</sub>, -(CH=CH)<sub>n</sub>, -(C≡C)<sub>n</sub>, -CON(R<sub>6</sub>)-, -N(R<sub>6</sub>)CO-, -O-, -S- and -N(R<sub>6</sub>)-; R<sub>2</sub> is independent of any other R group and is selected from the group consisting of -H, -COOH, -COR<sub>5</sub>, -CONR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>n</sub>-W-(CH<sub>2</sub>)<sub>m</sub>-Z-R<sub>5</sub>, -(CH<sub>2</sub>)<sub>n</sub>-W-R<sub>5</sub>, -Z-R<sub>5</sub>, C<sub>1</sub>-C<sub>10</sub> alkyl, alkenyl and substituted aryl; R<sub>3</sub> is independent of any other R group and is selected from the group consisting of -H, -COOH, -COR<sub>5</sub>, -CONR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>n</sub>-W-(CH<sub>2</sub>)<sub>m</sub>-Z-R<sub>5</sub>, -(CH<sub>2</sub>)<sub>n</sub>-W-R<sub>5</sub>, -Z-R<sub>5</sub>, C<sub>1</sub>-C<sub>10</sub> alkyl, alkenyl and substituted aryl; which inhibit the activity of phospholipase enzymes, particularly cytosolic phospholipase A<sub>2</sub>. Pharmaceutical compositions comprising such compounds and methods of treatment using such compositions are also disclosed.